

## WEST Search History

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DATE: Friday, January 19, 2007

Hide?	Set Name	Query	Hit Count
		<i>DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI; PLUR=YES; OP=ADJ</i>	
<input type="checkbox"/>	L1	VITAMIN d	14410
<input type="checkbox"/>	L2	2-METHYLENE-19-NOR VITAMIN d	1
<input type="checkbox"/>	L3	2-METHYLENE-19-NOR VITAMIN d	1
<input type="checkbox"/>	L4	2-ALKYLIDENE 19-NOR VITAMIN d	2
<input type="checkbox"/>	L5	L4 AND LIFE EXPECTANCY	0

END OF SEARCH HISTORY

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research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 13:30:52 ON 19 JAN 2007

=> EGISTRY

EGISTRY IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 13:31:08 ON 19 JAN 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 JAN 2007 HIGHEST RN 917867-30-2

DICTIONARY FILE UPDATES: 18 JAN 2007 HIGHEST RN 917867-30-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=> S 235108-14-2/RN

L1 1 235108-14-2/RN

=> S 213319-29-0/RN

L2 1 213319-29-0/RN

=> S 2133250-70-5/RN

L3 0 2133250-70-5/RN

=> S 213250-70-5/RN

L4 1 213250-70-5/RN

=> D L1 AND L2 AND L4

L2 IS NOT VALID HERE

For an explanation, enter "HELP DISPLAY".

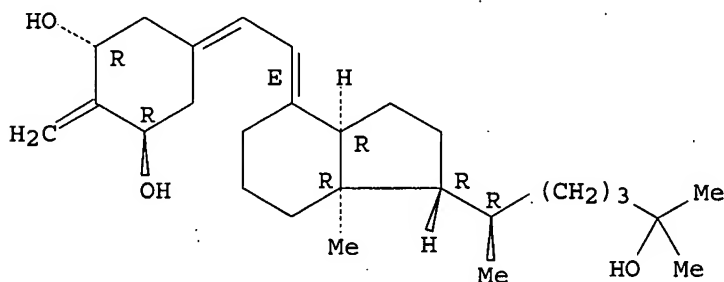
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=> D L1

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 235108-14-2 REGISTRY  
ED Entered STN: 26 Aug 1999  
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E,14 $\beta$ )-(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C27 H44 O3  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.  
Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

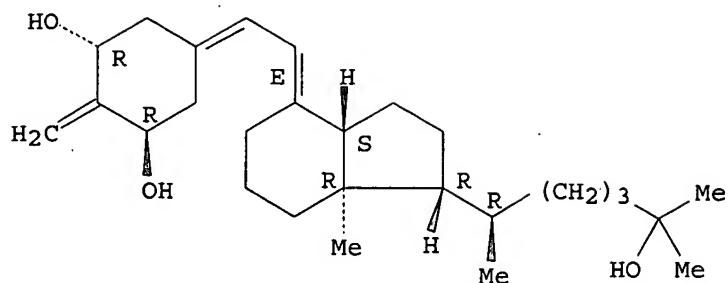
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> D L2

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 213319-29-0 REGISTRY  
ED Entered STN: 28 Oct 1998  
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E)-(9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 1,3-Cyclohexanediol, 2-methylene-5-[(2E)-[(1R,3aS,7aR)-octahydro-1-[(1R)-5-hydroxy-1,5-dimethylhexyl]-7a-methyl-4H-inden-4-ylidene]ethylidene]-, (1R,3R)-  
FS STEREOSEARCH  
MF C27 H44 O3  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.  
Double bond geometry as shown.

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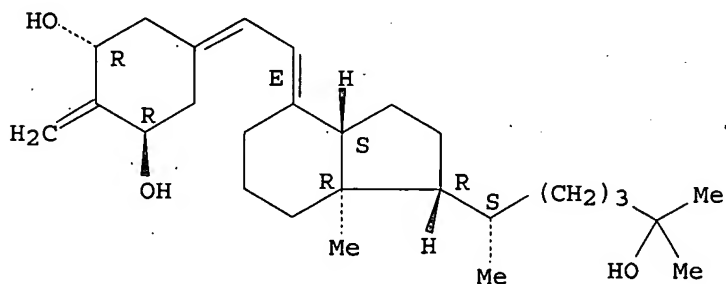
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

29 REFERENCES IN FILE CA (1907 TO DATE)  
29 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 213250-70-5 REGISTRY  
ED Entered STN: 27 Oct 1998  
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1α,3β,7E,20S)- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 1,3-Cyclohexanediol, 2-methylene-5-[(2E)-[(1R,3aS,7aR)-octahydro-1-[(1S)-5-hydroxy-1,5-dimethylhexyl]-7a-methyl-4H-inden-4-ylidene]ethylidene]-, (1R,3R)-  
CN 2-Methylene-19-nor-20(S)-1α,25-dihydroxyvitamin D3  
CN 2MD  
FS STEREOSEARCH  
MF C27 H44 O3  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT, IMSDRUGNEWS, IMSRESEARCH, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.  
Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

48 REFERENCES IN FILE CA (1907 TO DATE)  
48 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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=> FILE CAPLUS  
COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
8.10	8.31

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 13:33:54 ON 19 JAN 2007  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 19 Jan 2007 VOL 146 ISS 5  
FILE LAST UPDATED: 18 Jan 2007 (20070118/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> S L1 AND L2 AND L4  
1 L1  
29 L2  
48 L4  
L5 0 L1 AND L2 AND L4

=> 1 L1  
1 IS NOT A RECOGNIZED COMMAND  
The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> S L1  
L6 1 L1

=> S L2  
L7 29 L2

=> S L4  
L8 48 L4

=> S L7 AND L8  
L9 27 L7 AND L8

=> S L1 OR L2 OR L4 AND CANCER  
1 L1  
29 L2  
48 L4  
303251 CANCER  
L10 34 L1 OR L2 OR L4 AND CANCER

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=> D L10 1-34 IBIB HITSTR ABS

L10 ANSWER 1 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:283341 CAPLUS

DOCUMENT NUMBER: 142:310363

TITLE: Preparation of 2-alkylidene-19-nor-vitamin D derivatives for the treatment of hypocalcemic tetany or hypoparathyroidism

INVENTOR(S): Miller, Jeffrey Wells; Nduaka, Chudi Ike

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

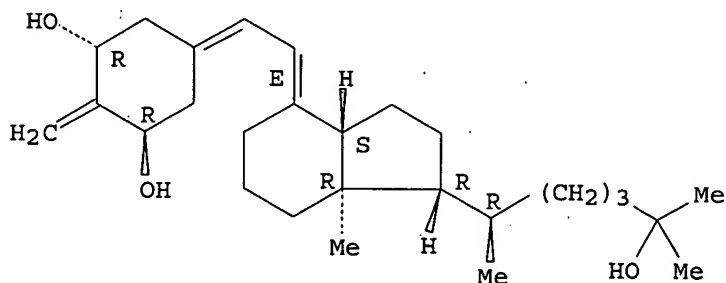
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005027928	A1	20050331	WO 2004-IB2910	20040906
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005101578	A1	20050512	US 2004-943562	20040916
PRIORITY APPLN. INFO.:			US 2003-504022P	P 20030919
IT 213319-29-0P				
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
(preparation of 2-alkylidene-19-nor-vitamin D derivs. for treatment of hypocalcemic tetany or hypoparathyroidism)				
RN 213319-29-0	CAPLUS			
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.  
Double bond geometry as shown.



AB The present invention relates to methods of treating hypocalcemic tetany

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or hypoparathyroidism, the methods comprising administering to a patient in need thereof a 2-alkylidene-19-nor-vitamin D derivative. Particularly, the present invention relates to methods of treating hypocalcemic tetany or hypoparathyroidism, the methods comprising administering to a patient in need thereof a therapeutically effective amount of 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub>.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:283340 CAPLUS

DOCUMENT NUMBER: 142:341912

TITLE: Pharmaceutical compositions and methods comprising combinations of 2-alkylidene-19-nor-vitamin D derivatives and an estrogen agonist/antagonist

INVENTOR(S): Lee, Andrew George

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005027924	A1	20050331	WO 2004-IB2900	20040906
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004273658	A1	20050331	AU 2004-273658	20040906
CA 2539361	A1	20050331	CA 2004-2539361	20040906
EP 1667692	A1	20060614	EP 2004-769299	20040906
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1852720	A	20061025	CN 2004-80026832	20040906
BR 2004014448	A	20061114	BR 2004-14448	20040906
US 2005070512	A1	20050331	US 2004-943568	20040916
NO 2006001702	A	20060619	NO 2006-1702	20060418
PRIORITY APPLN. INFO.:			US 2003-504521P	P 20030919
			WO 2004-IB2900	W 20040906

IT 213319-29-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceutical combinations of 2-alkylidene-19-nor-vitamin D derivs. and an estrogen agonist/antagonist)

RN 213319-29-0 CAPLUS

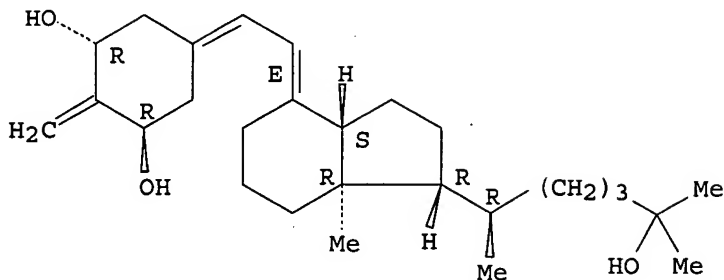
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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Double bond geometry as shown.



AB The present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof a combination of a 2-alkylidene-19-nor-vitamin D derivative and an estrogen agonist/antagonist or a pharmaceutically acceptable salt or prodrug thereof. Particularly, the present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof 2-methylene-19-nor-20(S)-Ia, 25-dihydroxyvitamin D3 and (-)-cis-6-phenyl-5-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-5,6,7,8-tetrahydronaphthalene-2-ol, or a pharmaceutically acceptable salt or prodrug thereof.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:283339 CAPLUS

DOCUMENT NUMBER: 142:310362

TITLE: Preparation of 2-alkylidene-19-nor-vitamin D derivatives for the treatment of rickets or vitamin D deficiency

INVENTOR(S): Miller, Jeffrey Wells; Nduaka, Chudi Ike

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005027920	A1	20050331	WO 2004-IB2925	20040906
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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US 2005101577 A1 20050512 US 2004-942382 20040916

PRIORITY APPLN. INFO.: US 2003-503811P P 20030919

IT 213319-29-OP

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

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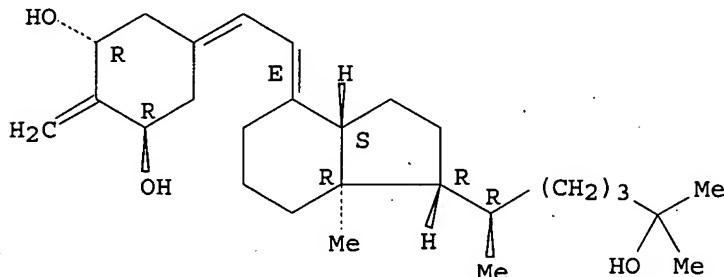
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-alkylidene-19-nor-vitamin D derivs. for the treatment of rickets or vitamin D deficiency)

RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



AB The present invention relates to methods of treating vitamin D deficiency, particularly rickets, the methods comprising administering to a patient in need thereof a 2-alkylidene-19-nor-vitamin D derivative. Particularly, the present invention relates to methods of treating vitamin D deficiency with 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub>.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259681 CAPLUS

DOCUMENT NUMBER: 142:317006

TITLE: Pharmaceutical compositions and methods comprising combinations of 2-alkylidene-19-nor-vitamin D derivatives and a growth hormone secretagogue

INVENTOR(S): Lee, Andrew G.

PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 23 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065180	A1	20050324	US 2004-942376	20040916
WO 2005027913	A1	20050331	WO 2004-IB2899	20040906
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,			

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SN, TD, TG

PRIORITY APPLN. INFO.:

US 2003-504001P

P 20030919

IT 213319-29-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

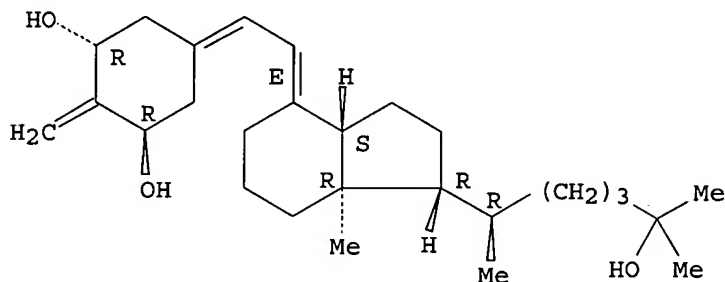
(preparation 2-methylene-19-norvitamin D derivs. for use in compns. with a growth hormone secretagogue)

RN 213319-29-0 CAPLUS

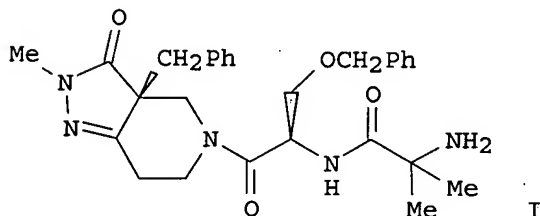
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



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AB The present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof a combination of a 2-alkylidene-19-nor-vitamin D derivative and a growth hormone secretagogue or a pharmaceutically acceptable salt or prodrug thereof. Particularly, the present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub> and a growth hormone secretagogue (e.g. I) or a pharmaceutically acceptable salt or prodrug thereof.

L10 ANSWER 5. OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259665 CAPLUS

DOCUMENT NUMBER: 142:310360

TITLE: Preparation of 2-alkylidene-19-nor-vitamin D derivatives for the treatment of anorexia or low bone mass in females exhibiting aggressive athletic behavior

INVENTOR(S): Thompson, David D.

PATENT ASSIGNEE(S): Pfizer Inc., USA

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SOURCE: U.S. Pat. Appl. Publ., 16 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065134	A1	20050324	US 2004-944368	20040916
WO 2005027925	A1	20050331	WO 2004-IB2904	20040906
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-504510P P 20030919

OTHER SOURCE(S): CASREACT 142:310360

IT 213319-29-0P

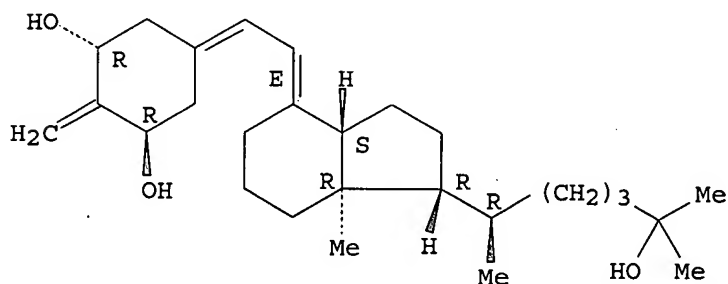
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-alkylidene-19-nor-vitamin D derivs. for treatment of anorexia or low bone mass in females exhibiting aggressive athletic behavior)

RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



AB The present invention relates to methods of treating anorexia or low bone mass in females exhibiting aggressive athletic behavior, the methods comprising administering to a patient in need thereof a 2-alkylidene-19-nor-vitamin D derivative. Particularly, the present invention relates to methods of treating anorexia or low bone mass in females exhibiting aggressive athletic behavior, the methods comprising administering to a patient in need thereof 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxy-vitamin D3.

L10 ANSWER 6 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

10669990

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ACCESSION NUMBER: 2005:259664 CAPLUS  
DOCUMENT NUMBER: 142:317005  
TITLE: Pharmaceutical compositions and methods comprising combinations of 2-alkylidene-19-nor-vitamin d derivatives and an ep2 or ep4 selective agonist  
INVENTOR(S): Lee, Andrew G.; Thompson, David D.  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: U.S. Pat. Appl. Publ., 49 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065133	A1	20050324	US 2004-944119	20040916
WO 2005027931	A1	20050331	WO 2004-IB2949	20040906
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2003-503798P P 20030919

IT 213250-70-5P 213319-29-0P

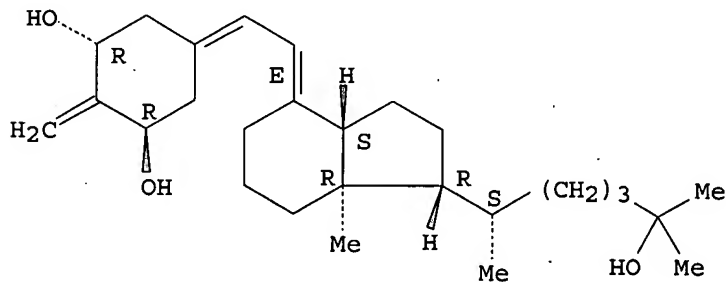
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceutical compns. and methods comprising combinations of 2-alkylidene-19-nor-vitamin D derivs. and aromatase inhibitors)

RN 213250-70-5 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 213319-29-0 CAPLUS

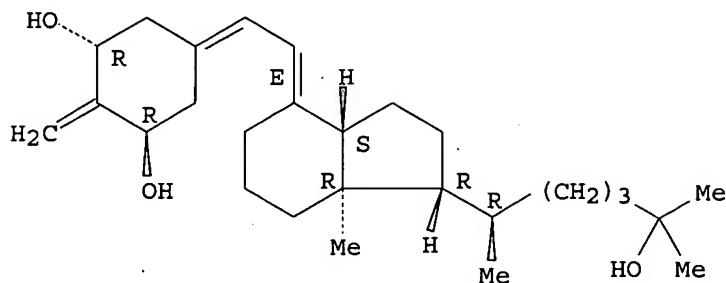
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10669990

QAZI

Double bond geometry as shown.



AB The present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof a combination of a 2-alkylidene-19-nor-vitamin D derivative and an EP2 or EP4 selective agonist or a pharmaceutically acceptable salt or prodrug thereof. Particularly, the present invention relates to pharmaceutical compns. and methods comprising administering to a patient in need thereof 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D3 and an EP2 or EP4 selective agonist or a pharmaceutically acceptable salt or prodrug thereof.

L10 ANSWER 7 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259663 CAPLUS

DOCUMENT NUMBER: 142:310359

TITLE: Preparation of 2-alkylidene-19-nor-vitamin D derivatives for the treatment or prevention of a second hip fracture

INVENTOR(S): Thompson, David D.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 16 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065132	A1	20050324	US 2004-944065	20040916
WO 2005027919	A1	20050331	WO 2004-IB2914	20040906
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2003-504004P P 20030919

OTHER SOURCE(S): CASREACT 142:310359

IT 213319-29-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

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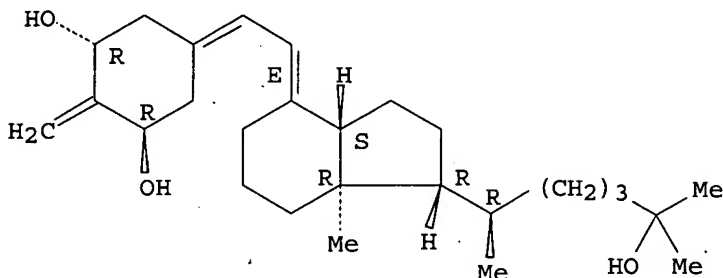
(preparation of 2-alkylidene-19-nor-vitamin D derivs. for treatment or prevention of a second hip fracture)

RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



AB The present invention relates to methods of treating or preventing a second hip fracture, the methods comprising administering to a patient in need thereof a 2-alkylidene-19-nor-vitamin D derivative. Particularly, the present invention relates to methods of treating or preventing a second hip fracture, the methods comprising administering to a patient in need thereof a therapeutically effective amount of 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D 3.

L10 ANSWER 8 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259662 CAPLUS

DOCUMENT NUMBER: 142:310358

TITLE: Preparation of 2-alkylidene-19-nor-vitamin D derivatives for enhancement of peak bone mass in adolescence

INVENTOR(S): Thompson, David D.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 16 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065131	A1	20050324	US 2004-944063	20040916
WO 2005027927	A1	20050331	WO 2004-IB2906	20040906
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

US 2003-504511P

P 20030919

10669990

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OTHER SOURCE(S): CASREACT 142:310358

IT 213319-29-0P

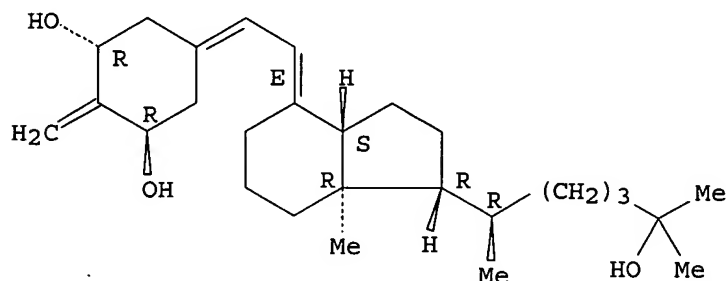
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-alkylidene-19-nor-vitamin D derivs. for enhancement of peak bone mass in adolescence)

RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



AB The present invention relates to methods of enhancing peak bone mass in adolescence, the methods comprising administering to a patient in need thereof a 2-alkylidene-19-nor-vitamin D derivative. Particularly, the present invention relates to methods of enhancing peak bone mass in adolescence, the methods comprising administering to a patient in need thereof a therapeutically effective amount of 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub>.

L10 ANSWER 9 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259661 CAPLUS

DOCUMENT NUMBER: 142:336520

TITLE: Preparation, pharmaceutical compositions, and methods comprising combinations of 2-alkylidene-19-nor-vitamin D derivatives and a cyclooxygenase-2 inhibitor

INVENTOR(S): Thompson, David D.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065130	A1	20050324	US 2004-943561	20040916
WO 2005027918	A1	20050331	WO 2004-IB2913	20040906
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,			

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AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

PRIORITY APPLN. INFO.:

US 2003-504003P

P 20030919

IT 213250-70-5P 213319-29-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

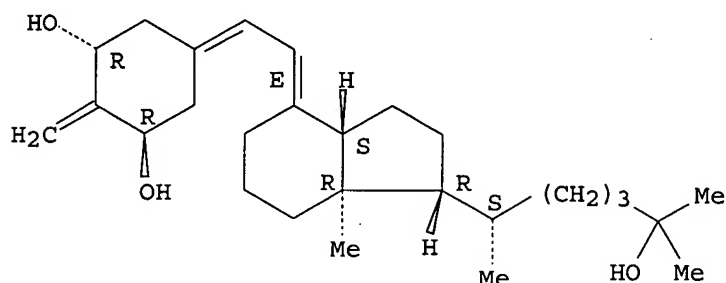
(preparation of and pharmaceutical compns. and methods comprising  
combinations of 2-alkylidene-19-nor-vitamin D derivs. and aromatase  
inhibitors)

RN 213250-70-5 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E,20S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

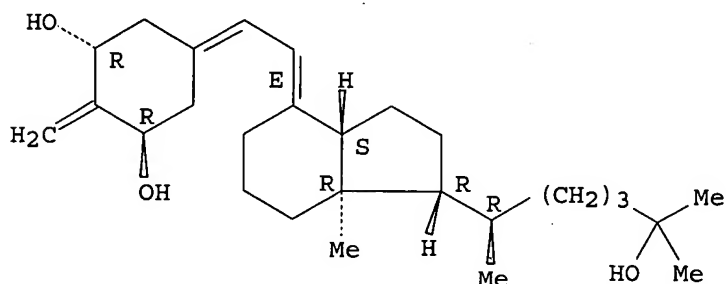


RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

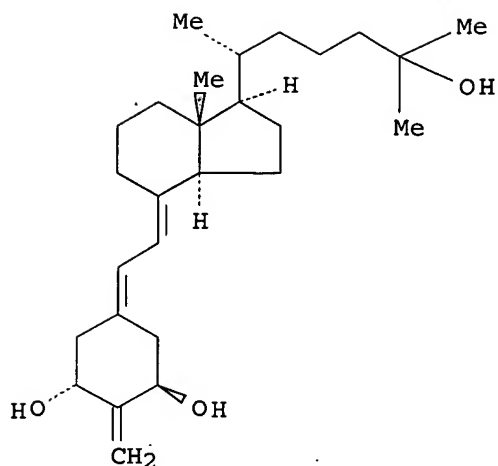
Double bond geometry as shown.



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AB The invention relates to pharmaceutical compns., and methods of treatment comprising administering to a patient in need of a combination of a 2-alkylidene-19-nor-vitamin D derivative and a cyclooxygenase-2 inhibitor, or a pharmaceutically acceptable salt or prodrug thereof. Particularly, the invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need of 2-methylene-19-nor-20(S)-10,25-dihydroxyvitamin D3 and a cyclooxygenase-2 inhibitor, or a pharmaceutically acceptable salt or prodrug thereof. Thus, 1 $\alpha$ ,25-dihydroxy-2-methylene-19-norvitamin D3 (I) was prepared in 11 steps from (-)-quinic acid. and (20S)-1 $\alpha$ ,25-dihydroxy-2-methylene-19-norvitamin D3 was prepared from (20S)-25-[(triethylsilyl)oxy]-des-A,B-cholestan-8-one in 4 steps.

L10 ANSWER 10 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259660 CAPLUS

DOCUMENT NUMBER: 142:310357

TITLE: Preparation of 2-alkylidene-19-nor-vitamin D derivatives for the treatment of frailty, muscle damage or sarcopenia

INVENTOR(S): Lee, Andrew G.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 16 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065129	A1	20050324	US 2004-943553	20040916
AU 2004273659	A1	20050331	AU 2004-273659	20040906
CA 2538993	A1	20050331	CA 2004-2538993	20040906
WO 2005027914	A1	20050331	WO 2004-IB2901	20040906

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,

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TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

EP 1667688 A1 20060614 EP 2004-769300 20040906  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

CN 1852718 A 20061025 CN 2004-80027153 20040906  
BR 2004014564 A 20061107 BR 2004-14564 20040906  
NO 2006001704 A 20060619 NO 2006-1704 20060418

PRIORITY APPLN. INFO.: US 2003-504509P P 20030919  
WO 2004-IB2901 W 20040906

IT 213319-29-0P

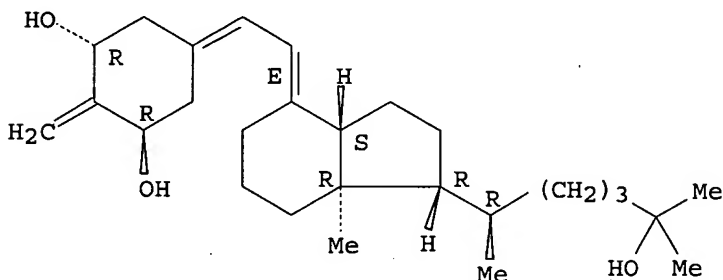
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of 2-alkylidene-19-nor-vitamin D derivs. for the treatment of  
frailty, muscle damage or sarcopenia)

RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



AB The present invention relates to methods of treating frailty, muscle  
damage or sarcopenia, the methods comprising administering to a patient in  
need thereof a 2-alkylidene-19-nor-vitamin D derivative. Particularly, the  
present invention relates to methods of treating frailty, muscle damage or  
sarcopenia, the methods comprising administering to a patient in need  
thereof a therapeutically effective amount of 2-methylene-19-nor-20(S)-  
1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub>.

L10 ANSWER 11 OF 34 CAPLUS. COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259659 CAPLUS

DOCUMENT NUMBER: 142:310356

TITLE: Preparation of 2-alkylidene-19-nor-vitamin D  
derivatives for the treatment of hypogonadism or  
andropause

INVENTOR(S): Campagnari, Judith L.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 16 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

10669990

PATENT INFORMATION:

PRIORITY APPLN. INFO.: US 2003-503810P P 20030919  
OTHER SOURCE(S): MARPAT 142:310356  
IT 213319-29-0P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(preparation of 2-alkylidene-19-nor-vitamin D derivs. for treatment of  
hypogonadism or andropause)  
RN 213319-29-0 CAPLUS  
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E)- (9CI) (CA INDEX NAME)

The chemical structure shows a complex polycyclic molecule with several stereocenters and functional groups. Key features include:

- A cyclohexene ring on the left with a vinyl group ( $\text{H}_2\text{C}=\text{C}$ ) and a hydroxyl group ( $\text{HO}$ ) on the double bond.
- A bridgehead carbon with a methyl group ( $\text{Me}$ ) and a hydrogen atom ( $\text{H}$ ) in a specific stereochemical arrangement.
- A cyclohexane ring fused to the first, with a methyl group ( $\text{Me}$ ) and a hydrogen atom ( $\text{H}$ ) at a bridgehead position.
- A side chain containing a quaternary carbon bonded to a methyl group ( $\text{Me}$ ), a hydroxyl group ( $\text{HO}$ ), and a propyl group ( $(\text{CH}_2)_3$ ).
- Various other stereocenters are indicated with wedges and dashes to show their three-dimensional orientation.

L10 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2005:259658 CAPLUS  
DOCUMENT NUMBER: 142:310355  
TITLE: Preparation of 2-alkylidene-19-nor-vitamin D  
derivatives for the treatment of osteosarcoma  
INVENTOR(S): Campagnari, Judith L.  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: U.S. Pat. Appl. Publ., 16 pp.  
CODEN: USXXCO

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DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065127	A1	20050324	US 2004-942704	20040916
WO 2005027930	A1	20050331	WO 2004-IB2918	20040906
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-504021P P 20030919

OTHER SOURCE(S): MARPAT 142:310355

IT 213319-29-0P

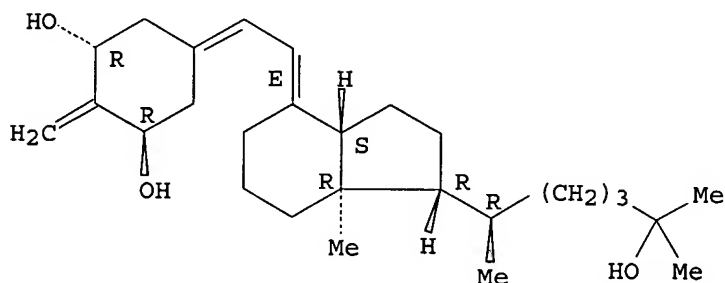
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-alkylidene-19-nor-vitamin D derivs. for treatment of osteosarcoma)

RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



AB The present invention relates to methods of treating osteosarcoma, the methods comprising administering to a patient in need thereof a 2-alkylidene-19-nor-vitamin D derivative. Particularly, the present invention relates to methods of treating osteosarcoma, the methods comprising administering to a patient in need thereof a therapeutically effective amount of 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D 3.

L10 ANSWER 13 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259657 CAPLUS

DOCUMENT NUMBER: 142:317004

TITLE: Pharmaceutical compositions and methods comprising combinations of 2-alkylidene-19-nor-vitamin D derivatives and aromatase inhibitors

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QAZI

INVENTOR(S): Lee, Andrew G.  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 19 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065126	A1	20050324	US 2004-942613	20040916
WO 2005027916	A1	20050331	WO 2004-IB2903	20040906
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

US 2003-504005P P 20030919

IT 213250-70-5P 213319-29-0P

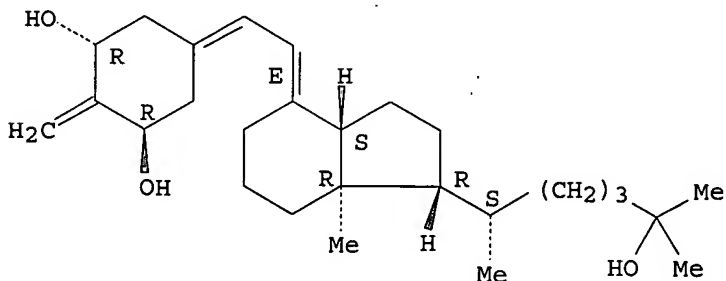
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceutical compns. and methods comprising combinations of 2-alkylidene-19-nor-vitamin D derivs. and aromatase inhibitors)

RN 213250-70-5 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

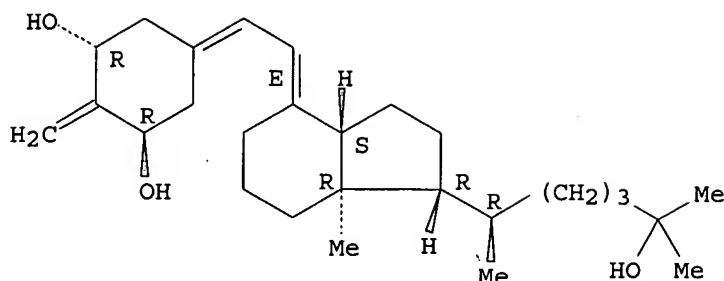


RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

QAZI



AB The present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof a combination of a 2-alkylidene-19-nor-vitamin D derivative and an aromatase inhibitor. Particularly, the present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D3 and an aromatase inhibitor.

L10 ANSWER 14 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259656 CAPLUS

DOCUMENT NUMBER: 142:310354

TITLE: Preparation of 2-alkylidene-19-nor-vitamin D derivatives for the treatment of osteopenia or male osteoporosis

INVENTOR(S): Campagnari, Judith L.; Lee, Andrew G.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 16 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065125	A1	20050324	US 2004-942377	20040916
AU 2004273667	A1	20050331	AU 2004-273667	20040906
CA 2539358	A1	20050331	CA 2004-2539358	20040906
WO 2005027917	A1	20050331	WO 2004-IB2912	20040906
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1667690	A1	20060614	EP 2004-769311	20040906
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1852717	A	20061025	CN 2004-80026946	20040906
BR 2004014465	A	20061114	BR 2004-14465	20040906
NO 2006000655	A	20060616	NO 2006-655	20060209
PRIORITY APPLN. INFO.:			US 2003-504508P	P 20030919

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WO 2004-IB2912

W 20040906

OTHER SOURCE(S): MARPAT 142:310354

IT 213319-29-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

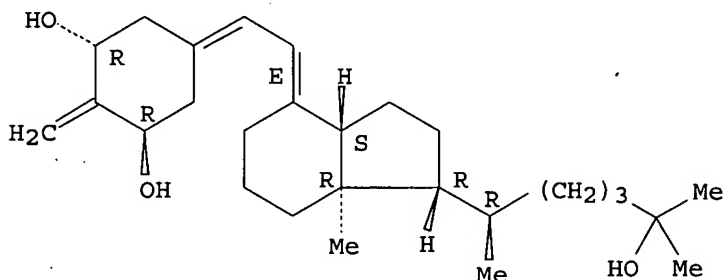
(preparation of 2-alkylidene-19-nor-vitamin D derivs. for treatment of osteopenia or male osteoporosis)

RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



AB The present invention relates to methods of treating osteopenia or male osteoporosis, the methods comprising administering to a patient in need thereof a 2-alkylidene-19-nor-vitamin D derivative. Particularly, the present invention relates to methods of treating osteopenia or male osteoporosis, the methods comprising administering to a patient in need thereof 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D 3.

L10 ANSWER 15 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259654 CAPLUS

DOCUMENT NUMBER: 142:291906

TITLE: Use of 2-methylene-19-nor-20(s)-1 $\alpha$ ,25-dihydroxyvitamin D3 to increase the life expectancy of human beings

INVENTOR(S): DeLuca, Hector F.; Plum, Lori A.; Clagette-Dame, Margaret

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 5 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065123	A1	20050324	US 2003-669990	20030924
AU 2004280176	A1	20050421	AU 2004-280176	20040728
CA 2540051	A1	20050421	CA 2004-2540051	20040728
WO 2005034959	A1	20050421	WO 2004-US24482	20040728

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

EP 1663251 A1 20060607 EP 2004-757375 20040728

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

US 2006241090 A1 20061026 US 2006-472125 20060621

PRIORITY APPLN. INFO.: US 2003-669990 A 20030924

WO 2004-US24482 W 20040728

IT 213250-70-5, 2-Methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin

D3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

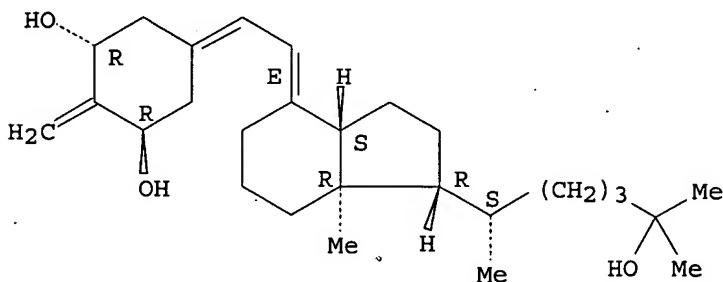
(method for increasing the life expectancy of human beings)

RN 213250-70-5 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



AB The invention provides pharmaceutical uses for 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D3. Administration of this compound increases the life expectancy of human beings, especially elderly human beings. In particular, it increases the survival rate of females lacking estrogen, especially post-menopausal females, and reduces mortality resulting from spontaneous development of malignant tumors in both males and females.

L10 ANSWER 16 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259653 CAPLUS

DOCUMENT NUMBER: 142:317003

TITLE: Pharmaceutical compositions and methods comprising combinations of 2-alkylidene-19-nor-vitamin D derivatives and a bisphosphonate

INVENTOR(S): Lee, Andrew G.

PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2005065117	A1	20050324	US 2004-942426	20040916
AU 2004273672	A1	20050331	AU 2004-273672	20040906
CA 2539359	A1	20050331	CA 2004-2539359	20040906
WO 2005027921	A1	20050331	WO 2004-IB2935	20040906

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1667691	A1	20060614	EP 2004-769333	20040906
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				

CN 1852719	A	20061025	CN 2004-80027165	20040906
BR 2004014565	A	20061107	BR 2004-14565	20040906
NO 2006001245	A	20060531	NO 2006-1245	20060317

PRIORITY APPLN. INFO.:	US 2003-504008P	P	20030919
	WO 2004-IB2935	W	20040906

IT 213250-70-5P 213319-29-0P

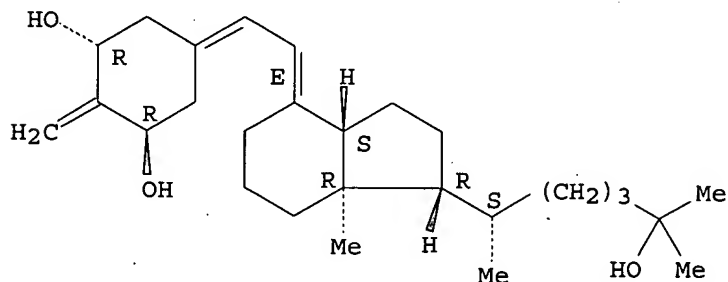
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceutical compns. and methods comprising combinations of 2-alkylidene-19-nor-vitamin D derivs. and aromatase inhibitors)

RN 213250-70-5 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E,20S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

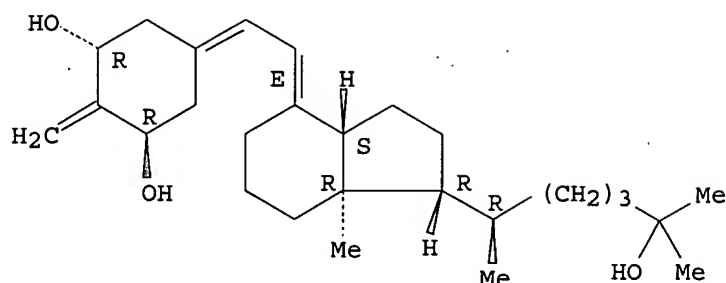


RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

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AB The present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof a combination of a 2-alkylidene-19-nor-vitamin D derivative and a bisphosphonate. Particularly, the present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D3 and a bisphosphonate.

L10 ANSWER 17 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259643 CAPLUS

DOCUMENT NUMBER: 142:317002

TITLE: Pharmaceutical compositions and methods comprising combinations of 2-alkylidene-19-nor-vitamin d derivatives and parathyroid hormone

INVENTOR(S): Thompson, David D.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 19 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065088	A1	20050324	US 2004-946585	20040916
AU 2004273660	A1	20050331	AU 2004-273660	20040906
CA 2539357	A1	20050331	CA 2004-2539357	20040906
WO 2005027915	A1	20050331	WO 2004-IB2902	20040906
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1667689	A1	20060614	EP 2004-769301	20040906
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1852716	A	20061025	CN 2004-80026848	20040906
BR 2004014518	A	20061107	BR 2004-14518	20040906
NO 2006001236	A	20060615	NO 2006-1236	20060317
PRIORITY APPLN. INFO.:			US 2003-504503P	P 20030919

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WO 2004-IB2902

W 20040906

OTHER SOURCE(S): CASREACT 142:317002

IT 213250-70-5P 213319-29-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

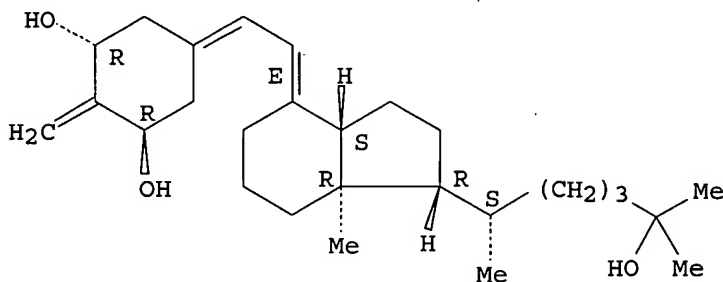
(pharmaceutical compns. and methods comprising combinations of 2-alkylidene-19-nor-vitamin D derivs. and parathyroid hormone)

RN 213250-70-5 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

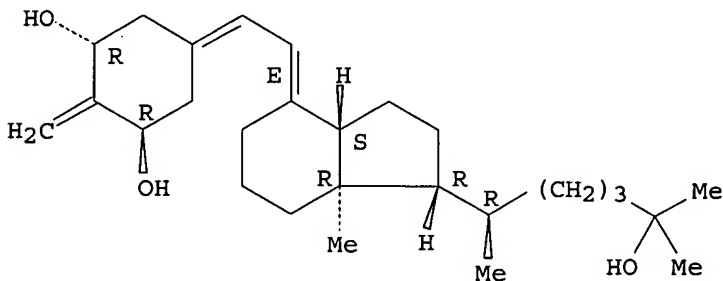


RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



AB The present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof a combination of a 2-alkylidene-19-nor-vitamin D derivative and parathyroid hormone or an active fragment or variant thereof. Particularly, the present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D3 and parathyroid hormone or an active fragment or variant thereof.

L10 ANSWER 18 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259642 CAPLUS

DOCUMENT NUMBER: 142:317001

TITLE: Pharmaceutical compositions and methods comprising combinations of 2-alkylidene-19-nor-vitamin D

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INVENTOR(S): derivatives and a bone morphogenetic protein  
Campagnari, Judith L.  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: U.S. Pat. Appl. Publ., 18 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065087	A1	20050324	US 2004-942725	20040916
WO 2005027926	A1	20050331	WO 2004-IB2905	20040906
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-504161P P 20030919

IT 213250-70-5P 213319-29-0P

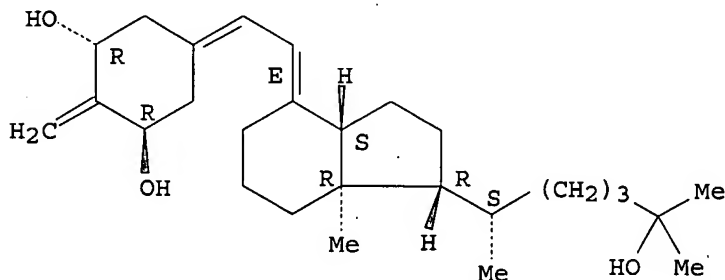
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceutical compns. and methods comprising combinations of 2-alkylidene-19-nor-vitamin D derivs. and bone morphogenetic protein)

RN 213250-70-5 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E,20S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

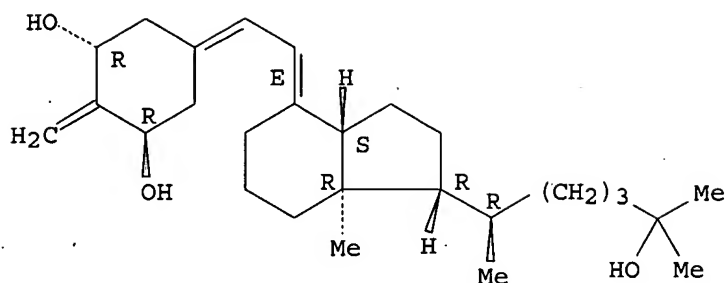


RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

QAZI



AB The present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof a combination of a 2-alkylidene-19-nor-vitamin D derivs. and a bone morphogenetic protein or active fragment or variant thereof. Particularly, the present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D 3 and a bone morphogenetic protein or active fragment or variant thereof.

L10 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:259356 CAPLUS

DOCUMENT NUMBER: 142:322752

TITLE: Pharmaceutical compositions and methods comprising combinations of 2-alkylidene-19-nor-vitamin D derivatives and an estrogen

INVENTOR(S): Keys, Sharon C.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 19 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005063992	A1	20050324	US 2004-942384	20040916
WO 2005027929	A1	20050331	WO 2004-IB2911	20040906
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-504023P P 20030919

IT 213250-70-5P 213319-29-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(compns. comprising alkylidene vitamin D derivs. in combination with estrogen for treatment of bone disease, cancer and other diseases)

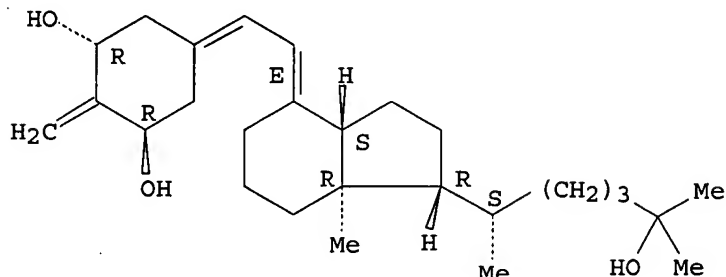
RN 213250-70-5 CAPLUS

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CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E,20S) - (9CI) (CA INDEX NAME)

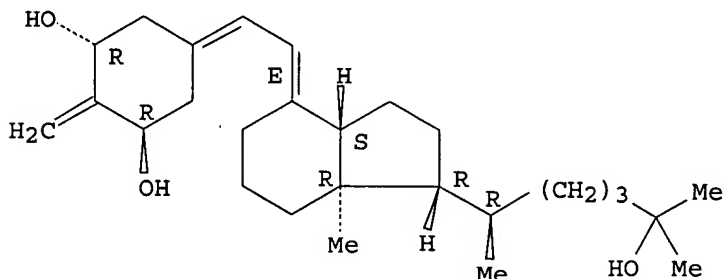
Absolute stereochemistry.  
Double bond geometry as shown.



RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



AB The present invention relates to pharmaceutical compns. and methods of treatment comprising administering to a patient in need thereof a combination of a 2-alkylidene-19-nor-vitamin D derivative and an estrogen, or a pharmaceutically acceptable salt or prodrug thereof. Particularly, the present invention relates to pharmaceutical compns. and methods of treatment, e.g. osteoporosis, bone fracture, breast cancer, prostate cancer, obesity, osteopenia, etc., comprising administering to a patient in need thereof 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub> (I) and an estrogen, or a pharmaceutically acceptable salt or prodrug thereof. For example, I was prepared and tested for biol. activity. I bound well to the porcine intestinal vitamin D receptor. When given at 130 pmol/day, its activity on bone calcium mobilization (serum calcium) was of the order of at least 10 and possible 100 to 1000 times more than that of the native hormone. Also, I was extremely potent in inducing differentiation of HL-60 cells to monocyte, illustrating its potential as anticancer agent.

L10 ANSWER 20 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:522177 CAPLUS

DOCUMENT NUMBER: 141:185224

TITLE: Model of three-dimensional structure of VDR bound with Vitamin D<sub>3</sub> analogs substituted at carbon-2

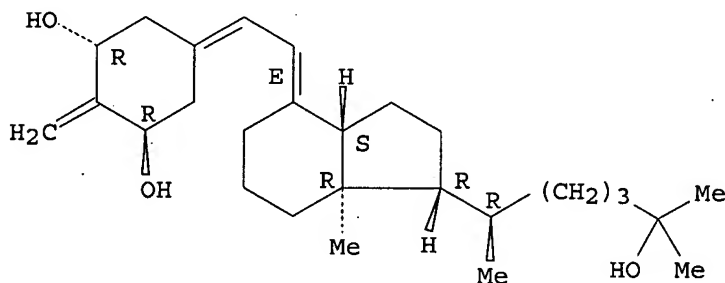
AUTHOR(S): Sicinska, Wanda; Rotkiewicz, Piotr; DeLuca, Hector F.

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CORPORATE SOURCE: Department of Biochemistry, University of  
Wisconsin-Madison, Madison, WI, 53706, USA  
SOURCE: Journal of Steroid Biochemistry and Molecular Biology  
(2004), 89-90(1-5), 107-110  
CODEN: JSBBEZ; ISSN: 0960-0760  
PUBLISHER: : Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
IT 213319-29-0  
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL  
(Biological study)  
(model of three-dimensional structure of VDR bound with Vitamin D3  
analogs substituted at carbon-2)  
RN 213319-29-0 CAPLUS  
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



AB All Vitamin D analogs possessing the A ring modified at C-2 and showing calcemic activities nest themselves in the VDR binding pocket, oriented towards Tyr 143. Such topol. resembles the position of the Vitamin D hormone in hVDRmt [Proc. Natl. Acad. Sci. U.S.A. 98 (2001) 5491]. Conversely, inactive 2 $\beta$ -methyl-19-nor-analogs anchor the receptor cavity in a distinguishably different manner, namely by their side chain. Moreover, these inactive vitamins have a different conformation around C(6)-C(7) bond. Topol. of modeled complexes suggests that a Vitamin D analog will be biol. active if its intercylic 5,7-diene moiety assumes parallel position to tryptophan aromatic rings; such orientation allows for creating  $\pi$ - $\pi$  interactions. The broad comparison of calcemic activities of the analogs, and their interactions with VDR, revealed that specific hydrophobic contacts are involved in bone calcium mobilization (BCM). These contacts occur between 21-Me group and a few amino acids (V296, L305 and L309), conserved in the nuclear receptor superfamily. In the inactive 2 $\beta$ -methyl-19-nor analogs such contacts do not exist. We speculate that two hydrophobic receptor patches, being in close contact with ligand Me groups, might influence interaction with co-modulators involved in calcium homeostasis.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 21 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:522171 CAPLUS

DOCUMENT NUMBER: 141:185221

TITLE: Therapeutic potential of the 2-alkyl and  
2-alkylidene-19-nor-(20S)-modified analogs of  
1 $\alpha$ ,25-dihydroxyvitamin D3

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AUTHOR(S): DeLuca, Hector F.  
CORPORATE SOURCE: Department of Biochemistry, University of  
Wisconsin-Madison, Madison, WI, 53706-1544, USA  
SOURCE: Journal of Steroid Biochemistry and Molecular Biology  
(2004), 89-90(1-5), 67-73  
CODEN: JSBBEZ; ISSN: 0960-0760  
PUBLISHER: : Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

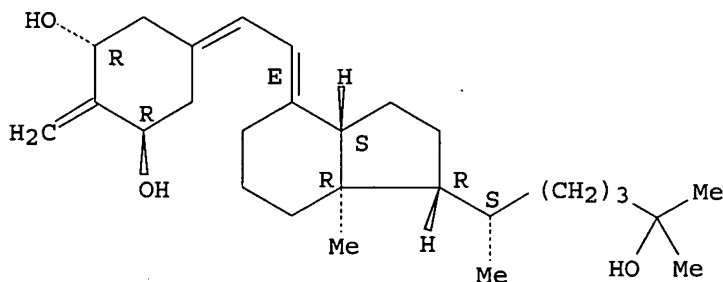
IT 213250-70-5

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(effects of 2-alkyl and 2-alkylidene-19-nor-(20S)-modified analogs of  
1 $\alpha$ ,25-(OH)2D3 on PTH activity/bone mineral mobilization, and  
their therapeutic potential for diseases where a rise in serum calcium  
is not desired)

RN 213250-70-5 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



AB Five analogs of 19-nor-1 $\alpha$ ,25-dihydroxyvitamin D3 are described that show highly selective and potent activities. The 2-methylene-19-nor-(20S)-1 $\alpha$ ,25-dihydroxyvitamin D3 (2MD) and its 2 $\alpha$ -Me sister are selectively active on the osteoblast. 2MD is bone anabolic and causes bone formation in vivo and in vitro and is being developed as a therapy for bone loss diseases such as osteoporosis. 2-Methylene-19-nor-(20S)-bishomo-1 $\alpha$ -hydroxypregnacalciferol (2BMP) has no activity on calcium in vivo while totally suppressing circulating parathyroid hormone. Its homologs, i.e. 2-methylene-19-nor-1 $\alpha$ -hydroxy-homopregnacalciferol (2MP) and 2-methylene-19-nor-1 $\alpha$ -hydroxypregnacalciferol (2MPC) act similarly but are either less selective (2MP) or not as potent (2MPC). These abbreviated side chain analogs will be developed for diseases where a rise in serum calcium is not desired, as for example, cancer, renal osteodystrophy, psoriasis and autoimmune diseases.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 22 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:220021 CAPLUS

DOCUMENT NUMBER: 140:247113

TITLE: Method of extending the dose range of vitamin D compounds

INVENTOR(S): DeLuca, Hector F.; Pike, John W.; Shevde, Nirupama;  
Plum, Lori A.; Clagett-Dame, Margaret

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PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 17 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004053813	A1	20040318	US 2002-235244	20020905
CA 2497828	A1	20040318	CA 2003-2497828	20030626
WO 2004022068	A1	20040318	WO 2003-US20517	20030626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003245748	A1	20040329	AU 2003-245748	20030626
EP 1545549	A1	20050629	EP 2003-739354	20030626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014006	A	20050809	BR 2003-14006	20030626
CN 1694711	A	20051109	CN 2003-824888	20030626
JP 2006500388	T	20060105	JP 2004-534233	20030626
PRIORITY APPLN. INFO.:			US 2002-235244	A 20020905
			WO 2003-US20517	W 20030626

OTHER SOURCE(S): MARPAT 140:247113

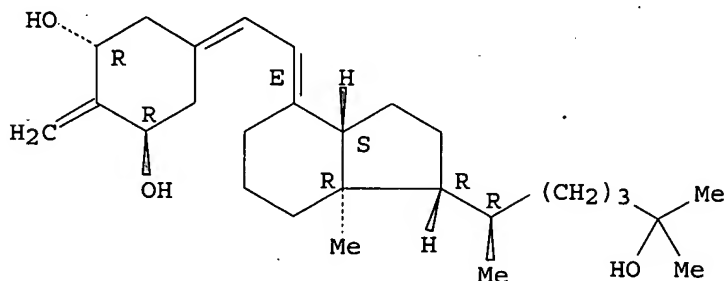
IT 213319-29-0

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (method of extending dose range of vitamin D compds.)

RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



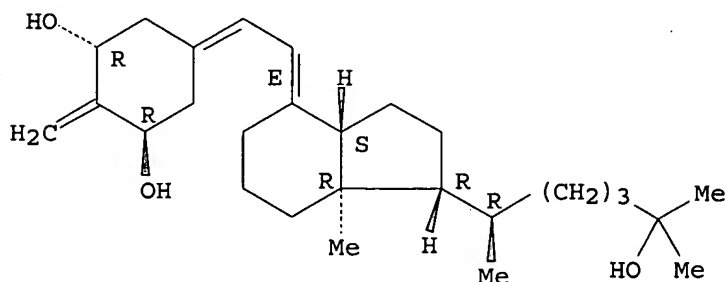
AB Inhibitors of bone calcium resorption are administered to allow high doses of vitamin D compds. or mimetics (Markush structures are given) to be given with the intent of treating non-calcium related diseases such as cancer, psoriasis, and autoimmune disease without the dangers of

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calcification of kidney, heart, and aorta. Inhibitors of bone calcium resorption include the bis-phosphonates, OPG or the soluble RANKL receptor known as sRANK, and function to block the availability of calcium from bone thereby preventing hypercalcemia and the resulting calcification of soft tissues. Thus, high doses of  $1\alpha,25$ -dihydroxyvitamin D<sub>3</sub> ( $1,25$ -(OH)<sub>2</sub>D<sub>3</sub>), its analogs, prodrugs, or mimetics can be utilized with minimal risk to a patient. Specifically, alendronate is shown to block the bone calcium mobilization activity of both  $1,25$ -(OH)<sub>2</sub>D<sub>3</sub> and its very potent analog, 2-methylene-19-nor-20(S)- $1\alpha,25$ -dihydroxyvitamin D<sub>3</sub>.

L10 ANSWER 23 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2003:647469 CAPLUS  
DOCUMENT NUMBER: 139:271426  
TITLE: 2-Methylene-19-nor-(20S)- $1,25$ -dihydroxyvitamin D<sub>3</sub>  
potently stimulates gene-specific DNA binding of  
vitamin D receptor in osteoblasts  
AUTHOR(S): Yamamoto, Hironori; Shevde, Nirupama K.; Warrier,  
Anjali; Plum, Lori A.; DeLuca, Hector F.; Pike, J...  
Wesley  
CORPORATE SOURCE: Department of Biochemistry, University of  
Wisconsin-Madison, Madison, WI, 53706, USA  
SOURCE: Journal of Biological Chemistry (2003), 278(34),  
31756-31765  
CODEN: JBCHA3; ISSN: 0021-9258  
PUBLISHER: American Society for Biochemistry and Molecular  
Biology  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
IT 213319-29-0  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(2-methylene-19-nor-(20S)- $1,25$ -dihydroxyvitamin D<sub>3</sub> potently stimulates  
gene-specific DNA binding of vitamin D receptor in osteoblasts in  
relation to underlying mol. mechanism)  
RN 213319-29-0 CAPLUS  
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
( $1\alpha,3\beta,7E$ )-(9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



AB 2-Methylene-19-nor-(20S)- $1,25$ -dihydroxyvitamin D<sub>3</sub> (2MD) is a highly potent analog of  $1,25$ -dihydroxyvitamin D<sub>3</sub> ( $1,25$ (OH)<sub>2</sub>D<sub>3</sub>) whose actions are mediated through the vitamin D receptor (VDR). The authors have replicated this increased potency of 2MD in vitro using osteoblastic cells and explored its underlying mol. mechanism. 2MD stimulates the expression of several vitamin D-sensitive genes including  $25$ -hydroxyvitamin D<sub>3</sub>-24 hydroxylase (Cyp24), osteopontin and receptor activator of NF $\kappa$ B

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ligand and suppresses osteoprotegerin at concns. two logs lower than that for 1,25(OH)2D3. 2MD is also more potent in stimulating transfected chimeric reporter genes under either Cyp24 or the osteocalcin promoter control. Enhanced potency is retained regardless of medium serum content. Interestingly, the uptake of both 1,25(OH)2D3 and 2MD into cells is similar, as is their rapid association with the VDR. This indicates that comparable levels of occupied VDR do not elicit equivalent levels of transactivation. Using chromatin immunopptn. (ChIP), however, the authors observed a strong correlation between DNA-bound receptor and the level of induced transcription suggesting a 2MD-induced increase in affinity of the VDR for DNA. Addnl. studies using a mammalian two-hybrid system and ChIP indicate that 2MD is also more potent in promoting interaction with RXR and the coactivators SRC-1 and DRIP205. Finally, protease digestion studies revealed a unique VDR conformation in the presence of 2MD. These studies suggest that the mol. mechanism of 2MD potency is due to its ability to promote enhanced levels of specific DNA binding by the VDR and could suggest possible explanations for the tissue- and gene-selective actions of 2MD.

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 24 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:387626 CAPLUS  
DOCUMENT NUMBER: 136:401925  
TITLE: Preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compounds as antiosteoporotics and antitumor agents  
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.  
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA  
SOURCE: U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 370,966, abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6392071	B1	20020521	US 2000-540686	20000331
US 5843928	A	19981201	US 1997-819693	19970317
US 5936133	A	19990810	US 1998-151113	19980910
CA 2404548	A1	20011011	CA 2001-2404548	20010329
WO 2001074766	A1	20011011	WO 2001-US10317	20010329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1268416	A1	20030102	EP 2001-920897	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003529581	T	20031007	JP 2001-572461	20010329
NZ 522160	A	20041126	NZ 2001-522160	20010329
US 2002087015	A1	20020704	US 2001-1711	20011031
US 6537981	B2	20030325		

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US 2003181427	A1	20030925	US 2003-352745	20030128
US 6696431	B2	20040224		
US 2004167104	A1	20040826	US 2004-780103	20040217
US 7094774	B2	20060822		
JP 2006117680	A	20060511	JP 2005-319365	20051102
PRIORITY APPLN. INFO.:			US 1997-819693	A3 19970317
			US 1998-151113	A1 19980910
			US 1999-370966	B2 19990810
			JP 1998-540501	A3 19980211
			US 2000-540686	A 20000331
			WO 2001-US10317	W 20010329
			US 2001-1711	A3 20011031
			US 2003-352745	A3 20030128

OTHER SOURCE(S): MARPAT 136:401925

IT 213250-70-5P 213319-29-0P

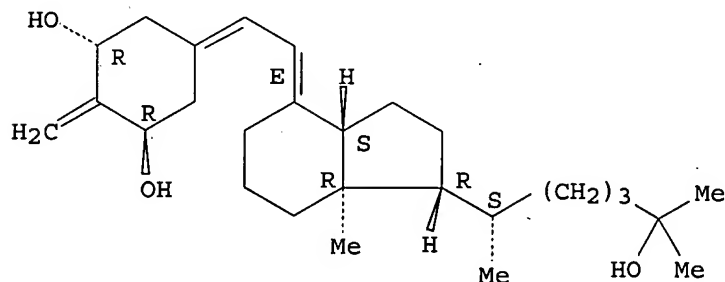
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 26,27-homologated-20-epi-2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

RN 213250-70-5 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E,20S)- (9CI) (CA INDEX NAME)

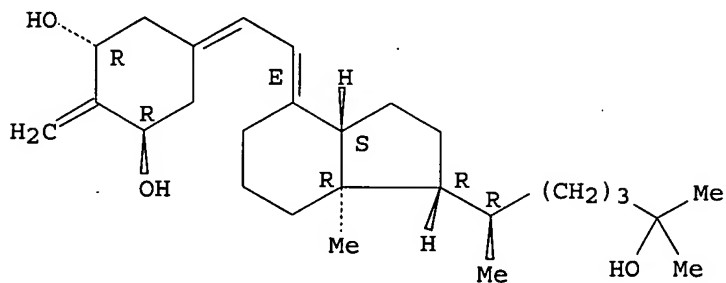
Absolute stereochemistry.  
Double bond geometry as shown.



RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Novel vitamin D related compds., namely, 2-alkylidene-19-nor-vitamin D derivs. of formula I [Y1, Y2 = H, protecting group; R6, R8 = alkyl, hydroxyalkyl, fluoroalkyl, etc., or when taken together represent the group -(CH2)x- where x is an integer from 2 to 5; R = any of the typical side chains known for vitamin D type compds.] are prepared These 2-substituted compds. are characterized by low intestinal calcium transport activity and high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. Thus, 20(S)-1 $\alpha$ ,25-dihydroxy-2-methylene-26,27-dihomo-19-nor-vitamin D3 (II) was prepared via a multistep synthetic sequence starting from 20(S)-25-hydroxy Grundmann's ketone analog III and phosphine oxide IV. The intestinal calcium transport and serum calcium (bone calcium mobilization) activities in vitamin D-deficient rats on a low calcium diet responding to chronic doses of II at 15 pmol/day/7 days were 4.0  $\pm$  0.4 S/M and 5.3  $\pm$  0.1 S/M resp. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 25 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:332679 CAPLUS

DOCUMENT NUMBER: 136:335278

TITLE: 1 $\alpha$ -Hydroxy-2-methylene-19-nor-homopregnacalciferol and its therapeutic uses

INVENTOR(S): DeLuca, Hector F.; Sicinski, Rafal R.; Gowlugari, Sumithra; Plum, Lori A.; Clagett-Dame, Margaret

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 14 pp., Cont.-in-part of U. S. Ser. No. 657,828.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002052350	A1	20020502	US 2001-878438	20010611
US 6440953	B2	20020827		
PT 1315504	T	20041231	PT 2001-942154	20010611
ES 2227215	T3	20050401	ES 2001-1942154	20010611
US 2002183289	A1	20021205	US 2002-165123	20020607
US 6579861	B2	20030617		

PRIORITY APPLN. INFO.: US 2000-657828 A2 20000908  
US 2001-878438 A3 20010611

IT 213250-70-5

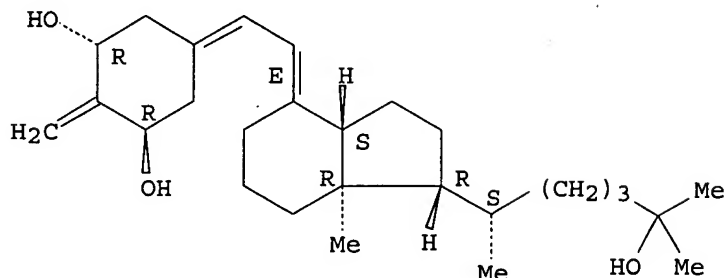
RL: PAC (Pharmacological activity); BIOL (Biological study)  
(hydroxymethylenenorhomopregnacalciferol and therapeutic use)

RN 213250-70-5 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E,20S)- (9CI) (CA INDEX NAME)

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Absolute stereochemistry.  
Double bond geometry as shown.



AB The invention discloses 1 $\alpha$ -hydroxy-2-methylene-19-nor-homopregnacalciferol and its pharmaceutical uses. This compound exhibits pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as an anti-cancer agent and for the treatment of skin diseases such as psoriasis as well as skin conditions such as wrinkles, slack skin, dry skin and insufficient sebum secretion. This compound also has little, if any, calcemic activity and therefore may be used to treat immune disorders in humans as well as renal osteodystrophy.

L10 ANSWER 26 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:71881 CAPLUS

DOCUMENT NUMBER: 136:112696

TITLE: Use of 2-methylene-19-nor-20(S)-1 $\alpha$ ,25-dihydroxyvitamin D3 to increase bone strength and for the treatment of skin disease, cancer, and bone disease

INVENTOR(S): Deluca, Hector F.; Smith, Connie M.

PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005823	A2	20020124	WO 2001-US21706	20010710
WO 2002005823	A3	20020523		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2416194	A1	20020124	CA 2001-2416194	20010710
AU 2001078888	A5	20020130	AU 2001-78888	20010710
EP 1301189	A2	20030416	EP 2001-957115	20010710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

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BR 2001012454	A	20030729	BR 2001-12454	20010710
JP 2004505022	T	20040219	JP 2002-511755	20010710
NZ 537036	A	20060728	NZ 2001-537036	20010710
US 2004068129	A1	20040408	US 2003-673629	20030929
US 7115594	B2	20061003		
HK 1060304	A1	20060421	HK 2004-103322	20040512
US 2006135492	A1	20060622	US 2006-350554	20060209
US 2006135493	A1	20060622	US 2006-350555	20060209

PRIORITY APPLN. INFO.:

US 2000-616164	A	20000714
WO 2001-US21706	W	20010710
US 2003-673629	A3	20030929

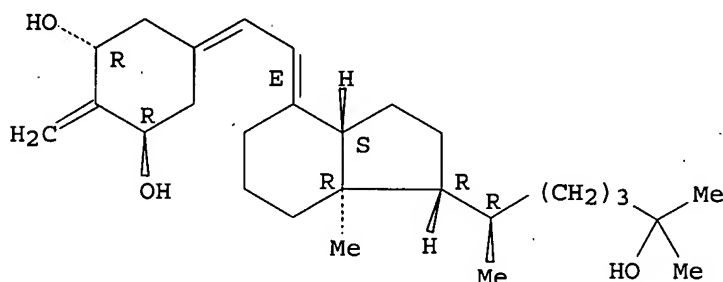
IT 213319-29-0

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(methylenenordihydroxyvitamin D3 to increase bone strength and for treatment of skin disease, cancer, and bone disease)

RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



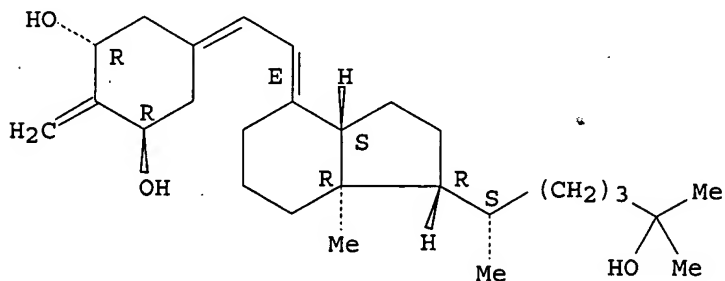
IT 213250-70-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(methylenenordihydroxyvitamin D3 to increase bone strength and for treatment of skin disease, cancer, and bone disease)

RN 213250-70-5 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E,20S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



AB The invention provides pharmaceutical uses for 2-methylene-19-nor-20(S)-  
1 $\alpha$ ,25-dihydroxyvitamin D3. This compound is characterized by high

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bone calcium mobilization activity demonstrating preferential activity on bone. This results in a novel therapeutic agent for the treatment of diseases where bone formation is desired, particularly osteoporosis. This compound also exhibits pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte, thus evidencing use as an anticancer agent and for the treatment of skin diseases such as psoriasis. This compound also increases both breaking strength and crushing strength of bones evidencing use in conjunction with bone replacement surgery such as hip and knee replacements.

L10 ANSWER 27 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:830900 CAPLUS  
DOCUMENT NUMBER: 135:358086  
TITLE: Preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compounds  
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.  
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA  
SOURCE: U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 454,013.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 6  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6316642	B1	20011113	US 2000-541470	20000331
US 5945410	A	19990831	US 1997-819694	19970317
US 6127559	A	20001003	US 1998-135463	19980817
US 6277837	B1	20010821	US 1999-454013	19991203
CA 2403232	A1	20011011	CA 2001-2403232	20010329
WO 2001074765	A1	20011011	WO 2001-US10094	20010329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1268415	A1	20030102	EP 2001-920863	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004500414	T	20040108	JP 2001-572460	20010329
NZ 521236	A	20051028	NZ 2001-521236	20010329
US 2002123638	A1	20020905	US 2001-999299	20011031
US 6544969	B2	20030408		
US 2003073857	A1	20030417	US 2002-246968	20020919
US 6667298	B2	20031223		
US 2004072804	A1	20040415	US 2003-673618	20030929
US 6939868	B2	20050906		
US 2004082802	A1	20040429	US 2003-683330	20031010
US 7112579	B2	20060926		
JP 2006096759	A	20060413	JP 2005-319359	20051102
PRIORITY APPLN. INFO.:			US 1997-819694	A2 19970317
			US 1998-135463	A3 19980817
			US 1999-454013	A2 19991203
			JP 1998-540500	A3 19980211
			US 2000-541470	A 20000331

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WO 2001-US10094	W 20010329
US 2001-45941	B3 20011019
US 2001-999299	A3 20011031
US 2002-246968	A3 20020919

OTHER SOURCE(S): MARPAT 135:358086

IT 213319-29-0P

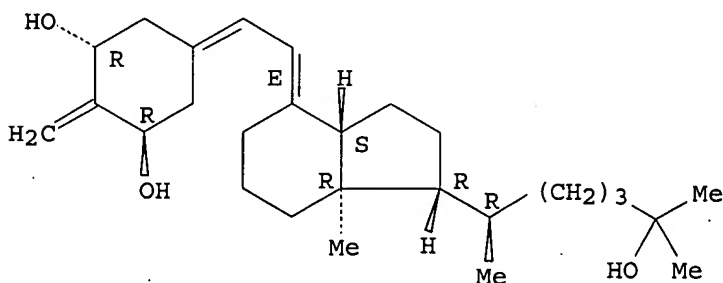
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 26,27-homologated-20-epi-2-alkyl-19-norvitamin D compds. with high intestinal calcium transport activity)

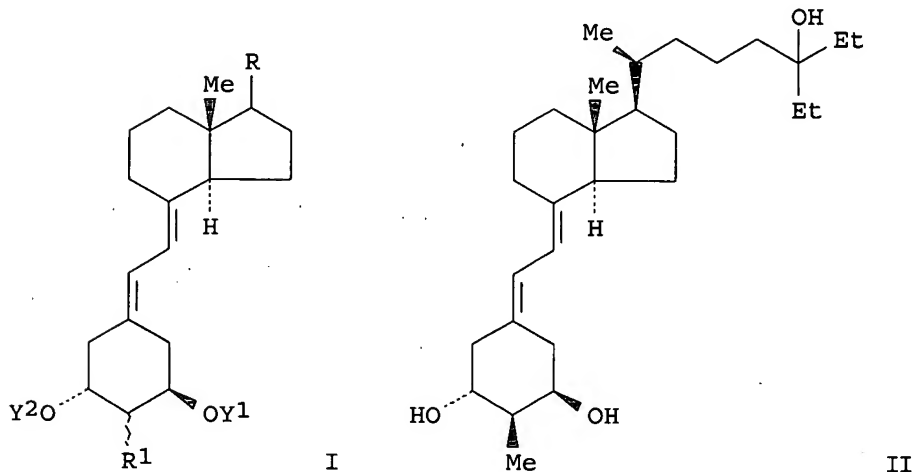
RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



GI



AB 2-Alkyl-19-nor-vitamin D derivs. of formula I [Y1, Y2 = H, protecting group; R = typical side chains known for vitamin D type compds.; R1 = alkyl, hydroxyalkyl, fluoroalkyl] are prepared These 2-substituted compds., especially the 2 $\alpha$ -Me and the 2 $\alpha$ -methyl-20S derivs., are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel

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therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anticancer agents and for the treatment of diseases such as psoriasis. Thus, II was prepared and showed preferential activity on bone in biol. activity tests.

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 28 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:747743 CAPLUS

DOCUMENT NUMBER: 135:288953

TITLE: Preparation of 2-alkylidene-19-nor-vitamin D compounds as antiosteoporotics and antitumor agents

INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.

PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074766	A1	20011011	WO 2001-US10317	20010329
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6392071	B1	20020521	US 2000-540686	20000331
CA 2404548	A1	20011011	CA 2001-2404548	20010329
EP 1268416	A1	20030102	EP 2001-920897	20010329
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003529581	T	20031007	JP 2001-572461	20010329
NZ 522160	A	20041126	NZ 2001-522160	20010329
PRIORITY APPLN. INFO.:			US 2000-540686	A 20000331
			US 1997-819693	A3 19970317
			US 1998-151113	A1 19980910
			US 1999-370966	B2 19990810
			WO 2001-US10317	W 20010329

OTHER SOURCE(S): MARPAT 135:288953

IT 213319-29-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 2-alkylidene-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

RN 213319-29-0 CAPLUS

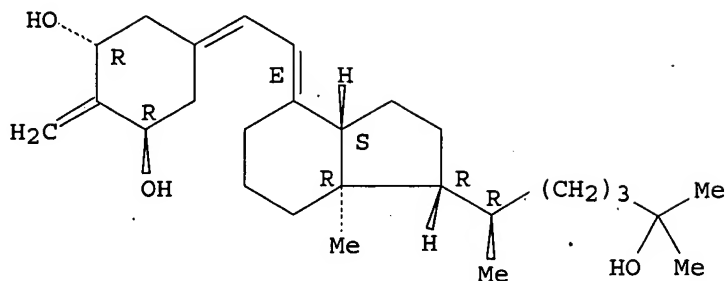
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

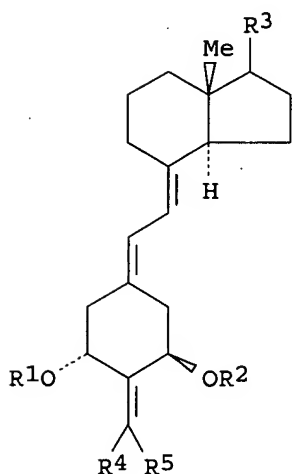
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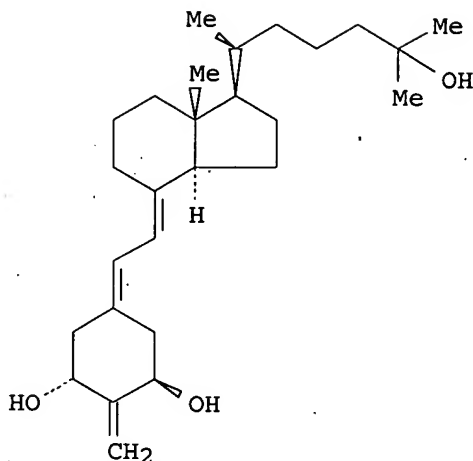
Double bond geometry as shown.



GI



I



II

AB Novel vitamin D related compds., namely, 2-alkylidene-19-nor-vitamin D derivs. of formula I [R1, R2 = H, protecting group; R3 = typical side chains known for vitamin D type compds.; R4, R5 = H, alkyl, hydroxyalkyl, fluoroalkyl, etc.; R4R5 = cycloalkylidene] are prepared These 2-substituted compds. are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anticancer agents and for the treatment of diseases such as psoriasis. Thus, II is prepared and is found to be extremely potent in inducing differentiation of HL-60 cells.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 29 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:747742 CAPLUS

DOCUMENT NUMBER: 135:304063

TITLE: Preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compounds

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INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.  
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA  
SOURCE: PCT Int. Appl., 74 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 6  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074765	A1	20011011	WO 2001-US10094	20010329
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6316642	B1	20011113	US 2000-541470	20000331
CA 2403232	A1	20011011	CA 2001-2403232	20010329
EP 1268415	A1	20030102	EP 2001-920863	20010329
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004500414	T	20040108	JP 2001-572460	20010329
NZ 521236	A	20051028	NZ 2001-521236	20010329
US 2004072804	A1	20040415	US 2003-673618	20030929
US 6939868	B2	20050906		
PRIORITY APPLN. INFO.:			US 2000-541470	A 20000331
			US 1997-819694	A2 19970317
			US 1998-135463	A3 19980817
			US 1999-454013	A2 19991203
			WO 2001-US10094	W 20010329
			US 2001-45941	B3 20011019

OTHER SOURCE(S): MARPAT 135:304063

IT 213250-70-5P 213319-29-0P

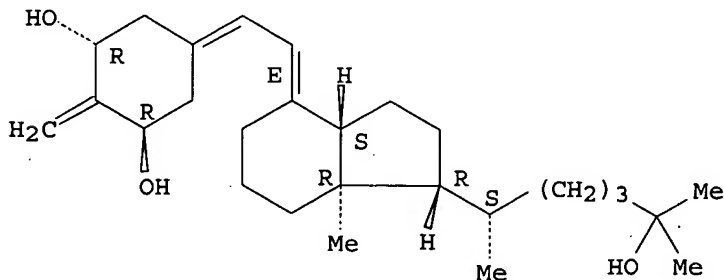
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 26,27-homologated-20-epi-2-alkyl-19-nor-vitamin D compds. as antiosteoporotics and antitumor agents)

RN 213250-70-5 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E,20S)- (9CI) (CA INDEX NAME)

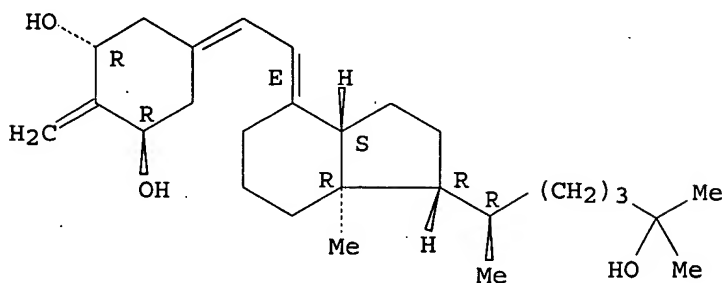
Absolute stereochemistry.  
Double bond geometry as shown.



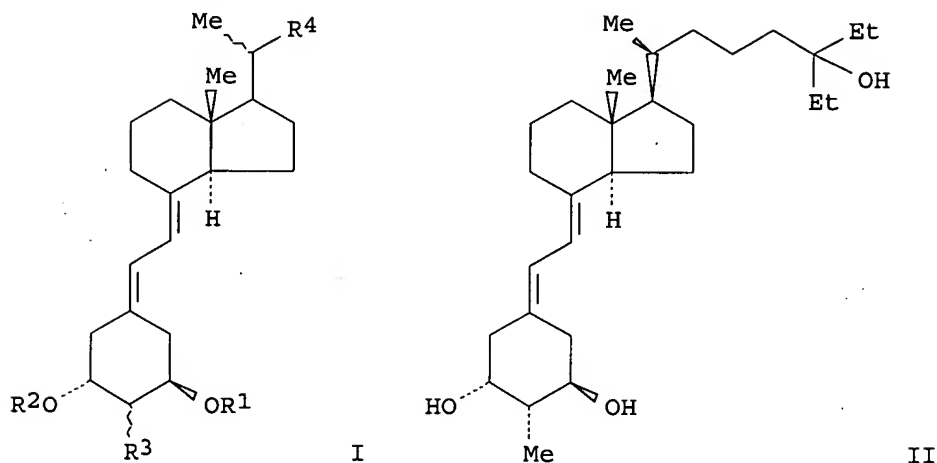
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RN 213319-29-0 CAPLUS

Absolute stereochemistry.  
Double bond geometry as shown.



GI



AB 2-Alkyl-19-nor-vitamin D derivs. of formula I [R1, R2 = H, protecting group; R3 = alkyl, hydroxyalkyl, fluoroalkyl; R4 = H, Me, acyl, OH, any of the typical side chains known for vitamin D type compds., etc.] are prepared. These compds. are characterized by relatively high intestinal calcium transport activity and relatively high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis. Thus, II is prepared and had a VDR binding ratio of 5.5, and HL-60 differentiation ED50 of  $1.1 \times 10^{-10}$  M.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L10 ANSWER 30 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:699222 CAPLUS  
DOCUMENT NUMBER: 133:267021  
TITLE: preparation and therapeutic use of  
2-alkyl-19-nor-vitamin D derivatives  
INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.  
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA  
SOURCE: U.S., 27 pp., Cont.-in-part of U.S. 5,945,410.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 6  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6127559	A	20001003	US 1998-135463	19980817
US 5945410	A	19990831	US 1997-819694	19970317
PT 971888	T	20040331	PT 1998-905101	19980211
ES 2206893	T3	20040516	ES 1998-905101	19980211
US 6277837	B1	20010821	US 1999-454013	19991203
US 6316642	B1	20011113	US 2000-541470	20000331
US 6306844	B1	20011023	US 2000-616778	20000714
US 2002151528	A1	20021017	US 2001-45941	20011019
US 2002123638	A1	20020905	US 2001-999299	20011031
US 6544969	B2	20030408		
US 2003073857	A1	20030417	US 2002-246968	20020919
US 6667298	B2	20031223		
US 2004072804	A1	20040415	US 2003-673618	20030929
US 6939868	B2	20050906		
US 2004082802	A1	20040429	US 2003-683330	20031010
US 7112579	B2	20060926		
US 2006003973	A1	20060105	US 2005-216951	20050831
JP 2006096759	A	20060413	JP 2005-319359	20051102

PRIORITY APPLN. INFO.:

US 1997-819694	A2	19970317
JP 1998-540500	A3	19980211
US 1998-135463	A3	19980817
US 1999-454013	A2	19991203
US 2000-541470	A3	20000331
US 2000-616778	A3	20000714
JP 2001-83085	A	20010322
US 2001-45941	B3	20011019
US 2001-999299	A3	20011031
US 2002-246968	A3	20020919
US 2003-673618	A3	20030929

OTHER SOURCE(S): MARPAT 133:267021

IT 213250-70-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation and therapeutic use of 2-alkyl-19-nor-vitamin D analog)

RN 213250-70-5 CAPLUS

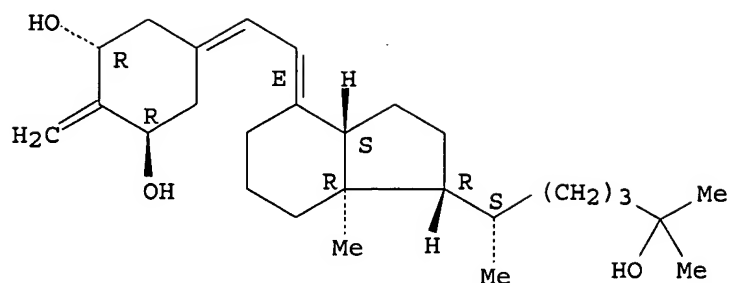
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

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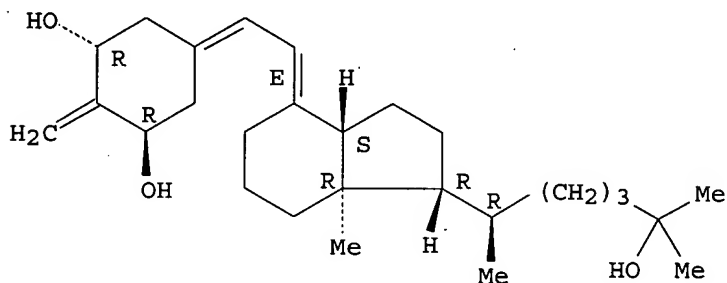
IT 213319-29-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and therapeutic use of 2-alkyl-19-nor-vitamin D analog)

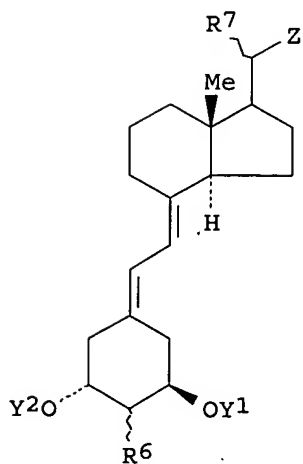
RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1α,3β,7E) - (9CI) (CA INDEX NAME)

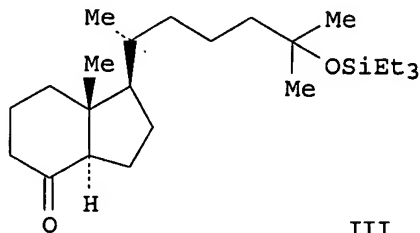
Absolute stereochemistry.  
Double bond geometry as shown.



GI



I



III

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AB This invention discloses a novel class of vitamin D related compds., namely, the 2-alkyl-19-nor-vitamin D derivs. (I) ( $Y_1, Y_2 = H$ , hydroxy-protecting group;  $R_6 = \text{alkyl, hydroxyalkyl, fluoroalkyl}$ ;  $R_7 = \alpha \text{ or } \beta\text{-Me}$ ;  $Z = Y, -OY, -CH_2OY, -C.tplbond.CY, -CH=CHY$  ( $Y = H, Me, -(CH_2)_m-C(R_1R_2)-(CH_2)_n-C(R_3R_4R_5)$ ; where  $m$  and  $n$ , independently integers from 0-5;  $R_1 = H, OH, \text{protected hydroxy, F, CF}_3, \text{alkyl etc.}$ ,  $R_2, R_3, R_4 = D, \text{deuteroalkyl, H, F, CF}_3, \text{alkyl etc.}$ ,  $R_1+R_2 = O, =C(R_2R_3) \text{ etc.}$ ,  $R_5 = H, OH, \text{protected hydroxy, alkyl, and wherein any of the CH-groups at position 20, 22, or 23 in the side chain may be replaced by a N atom or where any of the groups } -CH(Me)-, -CH(R_3)-, \text{ or } -CH(R_2)- \text{ at positions 20, 22, and 23, resp., may be replaced by an oxygen or sulfur atom})$ ), were prepared Thus, I ( $Y_1, Y_2 = H$ ;  $R_6, R_7 = \alpha\text{-Me}$ ;  $Z = (CH_2)_3C(Me)_2OH$ ) (II) was prepared starting from Me quinate and followed by Wittig-Horner coupling with Grundman's ketone (III). The 2-substituted compds., especially the 2 $\alpha$ -Me and the 2 $\alpha$ -methyl-20S derivs., are characterized by relatively low intestinal calcium transport activity and high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. I also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 31 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:505692 CAPLUS

DOCUMENT NUMBER: 131:144749

TITLE: Preparation of 14-epi-19-nor-vitamin D compounds with cell differentiation activity

INVENTOR(S): Paaren, Herbert E.

PATENT ASSIGNEE(S): Tetrionics, Inc., USA

SOURCE: U.S., 14 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5936105	A	19990810	US 1998-96330	19980611
PRIORITY APPLN. INFO.:			US 1997-53088P	P 19970613

IT 235108-14-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 14-epi-19-nor-vitamin D compds. with cell differentiation activity)

RN 235108-14-2 CAPLUS

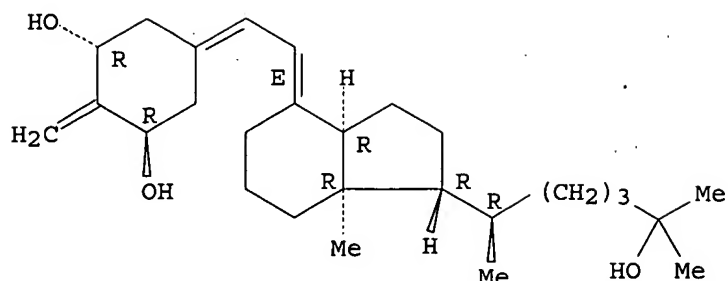
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E,14 $\beta$ )-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



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AB 14-Epi-19-nor-vitamin D analog compds. are prepared with high cell differentiation and antiproliferative activity and low calcemic activity. More particularly, examples of such compds. include 14-epi-19-nor-1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub> (I), 14-epi-20-epi-19-nor-1 $\alpha$ -hydroxyvitamin D<sub>3</sub>, 14-epi-19-nor-1 $\alpha$ ,25-dihydroxyvitamin D<sub>2</sub>, 14-epi-19-nor-24-homo-1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub>, 14-epi-19-nor-20(S)-hydroxymethyl-1 $\alpha$ -hydroxypregnacalciferol, and 14-epi-19-nor-20(R)-hydroxymethyl-1 $\alpha$ -hydroxypregnacalciferol. Thus, I was prepared and showed an EC<sub>50</sub> of 0.66 nM to inhibit proliferation of HL-60 cells.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 32 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:672847 CAPLUS

DOCUMENT NUMBER: 130:52625

TITLE: New 1 $\alpha$ ,25-Dihydroxy-19-norvitamin D<sub>3</sub> Compounds of High Biological Activity: Synthesis and Biological Evaluation of 2-Hydroxymethyl, 2-Methyl, and 2-Methylene Analogs

AUTHOR(S): Sicinski, Rafal R.; Prahl, Jean M.; Smith, Connie M.; DeLuca, Hector F.

CORPORATE SOURCE: Department of Biochemistry College of Agricultural and Life Sciences, University of Wisconsin-Madison, Madison, WI, 53706, USA

SOURCE: Journal of Medicinal Chemistry (1998), 41(23), 4662-4674

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 213319-29-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (synthesis and biol. evaluation of 2-hydroxymethyl, 2-Me, and 2-methylene 1 $\alpha$ ,25-dihydroxy-19-norvitamin D<sub>3</sub> analogs)

RN 213319-29-0 CAPLUS

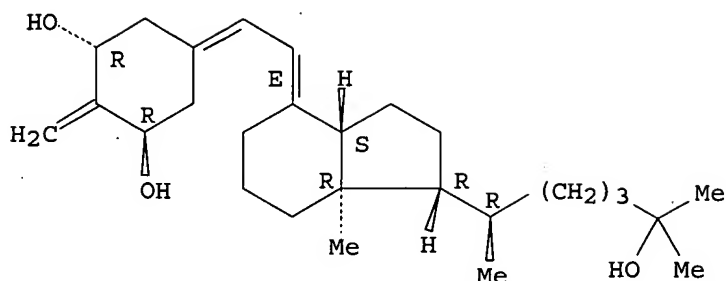
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

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AB New highly active isomers of the natural hormone  $1\alpha,25$ -dihydroxyvitamin D<sub>3</sub> possessing an exomethylene group at the 2-position were prepared in a convergent manner, starting with (-)-quinic acid and the corresponding (20R)- and (20S)-25-hydroxy Grundmann ketones. These 2-methylene-19-norvitamins were efficiently converted to the 2-Me and 2-hydroxymethyl derivs., some of which exhibited pronounced *in vivo* biol. activity. Configurations of the A-ring substituents were determined by <sup>1</sup>H NOE difference spectroscopy as well as by spin decoupling expts. It was established that the bulky Me and hydroxymethyl substituents at C-2, due to their large conformational free energies, occupy mainly equatorial positions. Addnl., hydroxylation of the C(10)-C(19) double bond in  $1\alpha,25$ -(OH)<sub>2</sub>D<sub>3</sub> was performed, resulting in  $1\alpha,19,25$ -trihydroxy-10,19-dihydrovitamin D<sub>3</sub> derivs. in which the hydroxymethyl substituent at C-10, for steric reasons, is forced to occupy an axial position. In consequence, the vitamin D<sub>3</sub> analogs were synthesized in which the  $1\alpha$ -hydroxy group, required for biol. activity, is almost exclusively axially or equatorially oriented because of stabilization of the single A-ring chair conformations. The relative ability of the synthesized analogs to bind the porcine intestinal vitamin D receptor was assessed and compared with that of the natural hormone. It was established that vitamins possessing the axial orientation of the  $1\alpha$ -hydroxy substituent exhibit a significantly increased receptor binding affinity. Compds. with a 2-methylene substituent showed selective calcemic activity profiles, being extremely effective on bone calcium mobilization.  $2\alpha$ -Methyl-substituted vitamins proved to be much more active *in vivo* than the corresponding epimers with  $2\beta$ -configuration. All of the 2-substituted vitamins exhibited pronounced HL-60 differentiating activity, those  $2\alpha$ -substituted in the 20S-series being especially potent. The present studies imply that the axial orientation of the  $1\alpha$ -hydroxy group is necessary for biol. activity of vitamin D compds.

REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

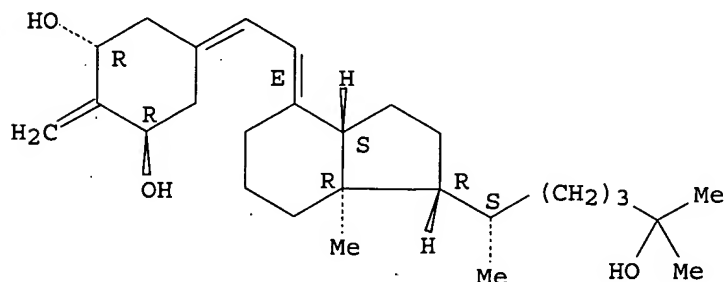
L10 ANSWER 33 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1998:635741 CAPLUS  
 DOCUMENT NUMBER: 129:245333  
 TITLE: Preparation of 2-alkylidene-19-nor-vitamin D compounds  
 INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.  
 PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA  
 SOURCE: PCT Int. Appl., 61 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

10669990

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9841501	A1	19980924	WO 1998-US2976	19980211
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GW, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5843928	A	19981201	US 1997-819693	19970317
CA 2283829	A1	19980924	CA 1998-2283829	19980211
CA 2283829	C	20060711		
AU 9862801	A	19981012	AU 1998-62801	19980211
AU 714253	B2	19991223		
EP 970047	A1	20000112	EP 1998-905102	19980211
EP 970047	B1	20020911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 337503	A	20000929	NZ 1998-337503	19980211
JP 2001504135	T	20010327	JP 1998-540501	19980211
JP 3786713	B2	20060614		
AT 223890	T	20020915	AT 1998-905102	19980211
ES 2179451	T3	20030116	ES 1998-905102	19980211
PT 970047	T	20030131	PT 1998-905102	19980211
NO 9904398	A	19990910	NO 1999-4398	19990910
NO 322535	B1	20061023		
JP 2006117680	A	20060511	JP 2005-319365	20051102
PRIORITY APPLN. INFO.:			US 1997-819693	A 19970317
			JP 1998-540501	A3 19980211
			WO 1998-US2976	W 19980211
OTHER SOURCE(S):		MARPAT 129:245333		
IT 213250-70-5P				
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
(preparation of 2-alkylidenenor-vitamin D compds.)				
RN 213250-70-5 CAPLUS				
CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-, (1 $\alpha$ ,3 $\beta$ ,7E,20S)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.  
Double bond geometry as shown.



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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; Y1, Y2 = H, protecting group; R6, R8 = H, alkyl, hydroxyalkyl, fluoroalkyl, or R6R8 = (CH<sub>2</sub>)<sub>x</sub>; x = 2-5 integer; R = any of the typical side chains known for vitamin D type compds., e.g. Q] are prepared. Thus, 1 $\alpha$ ,25-dihydroxy-2-methylene-19-norvitamin D<sub>3</sub> (II) was prepared in 11 steps from (-)-quinic acid via tert-butyldimethylsilyl protection of the OH groups at the 3 and 5 positions, converting to protected quinic acid Me ester, oxidation of the 4-OH, methylenation using methyltriphenylphosphonium bromide, hydride reduction, NaIO<sub>4</sub> oxidation, condensation of 3,5-bis(tert-butyldimethylsilyloxy)-4-methylenecyclohexanone with Me<sub>3</sub>SiCH<sub>2</sub>-COOMe, DIBAL reduction, reaction with Ph<sub>2</sub>PH, H<sub>2</sub>O<sub>2</sub> oxidation, condensation with perhydroindanone III in the presence of BuLi, and deprotection. These 2-substituted compds. are characterized by low intestinal calcium transport activity and high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. The intestinal calcium transport and serum calcium (bone calcium mobilization) activities in rats responding to chronic doses of II at 130 pmol/day/7 days were 5.3 $\pm$ 0.4 S/M and 9.9 $\pm$ 0.2 mg/100 mL, resp., vs. 6.2 $\pm$ 0.4 S/M and 7.2 $\pm$ 0.5 mg/100 mL, resp., for 1,25-(OH)<sub>2</sub>D<sub>3</sub>. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 34 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:635740 CAPLUS

DOCUMENT NUMBER: 129:245332

TITLE: Preparation of 2-alkyl-19-nor-vitamin D compounds and their biological activities

INVENTOR(S): Deluca, Hector F.; Sicinski, Rafal R.

PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9841500	A1	19980924	WO 1998-US2975	19980211
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GW, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5945410	A	19990831	US 1997-819694	19970317
CA 2272745	A1	19980924	CA 1998-2272745	19980211
CA 2272745	C	20051206		
AU 9862800	A	19981012	AU 1998-62800	19980211

## QAZI

AU 714390	B2	19991223		
EP 971888	A1	20000119	EP 1998-905101	19980211
EP 971888	B1	20031029		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI

BR 9808010	A	20000308	BR 1998-8010	19980211
NZ 337262	A	20000929	NZ 1998-337262	19980211
JP 2000513010	T	20001003	JP 1998-540500	19980211
JP 3786712	B2	20060614		
AT 253046	T	20031115	AT 1998-905101	19980211
PT 971888	T	20040331	PT 1998-905101	19980211
ES 2206893	T3	20040516	ES 1998-905101	19980211
NO 9904489	A	19990916	NO 1999-4489	19990916
NO 321925	B1	20060724		
US 2004072804	A1	20040415	US 2003-673618	20030929
US 6939868	B2	20050906		
JP 2006096759	A	20060413	JP 2005-319359	20051102

PRIORITY APPLN. INFO.:

JP	2005-319359		20051102
US	1997-819694	A	19970317
JP	1998-540500	A3	19980211
WO	1998-US2975	W	19980211
US	2001-45941	B3	20011019

OTHER SOURCE(S) : MARPAT 129:245332

IT 213250-70-5P 213319-29-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

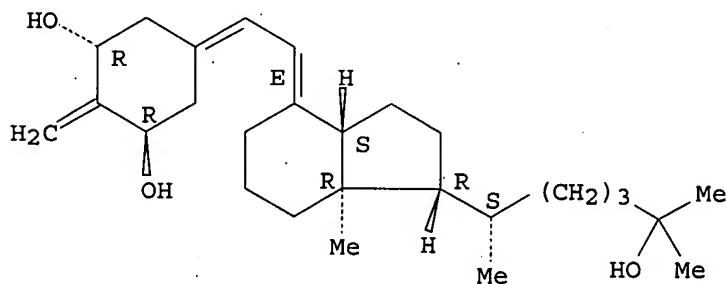
(preparation of 2-alkylnor-vitamin D compds. and their biol. activities)

RN 213250-70-5 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



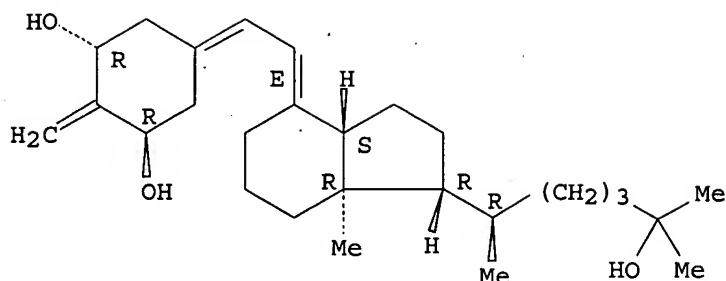
RN 213319-29-0 CAPLUS

CN 19-Nor-9,10-secocholesta-5,7-diene-1,3,25-triol, 2-methylene-,  
(1 $\alpha$ ,3 $\beta$ ,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

QAZI



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; Y1, Y2 = H, protecting group; R6 = alkyl, hydroxyalkyl, fluoroalkyl, etc.; R = any of the typical side chains known for vitamin D type compds., e.g. Q] are prepared. Thus, 1 $\alpha$ ,25-dihydroxy-2 $\alpha$ - and 1 $\alpha$ ,25-dihydroxy-2 $\beta$ -methyl-19-norvitamin D3 (II) were prepared in 11 steps from (-)-quinic acid via tert-butyldimethylsilyl protection of the OH groups at positions 3 and 5, converting to protected quinic acid Me ester, oxidation of the 4-OH, methylenation using methyltriphenylphosphonium bromide, hydride reduction, NaIO<sub>4</sub> oxidation, condensation of the resulting 3,5-bis(tert-butyldimethylsilyloxy)-4-methylcyclohexanone with Me<sub>3</sub>SiCH<sub>2</sub>COOMe, DIBAL reduction, reaction with Ph<sub>2</sub>PH, oxidation, condensation with perhydroindanone

III in the presence of BuLi, and deprotection. These 2-substituted compds. are characterized by low intestinal calcium transport activity and high bone calcium mobilization activity resulting in novel therapeutic agents for the treatment of diseases where bone formation is desired, particularly low bone turnover osteoporosis. The intestinal calcium transport and serum calcium (bone calcium mobilization) activities in rats responding to chronic doses of II (both epimers) at 130 pmol/day/7 days were 5.0 $\pm$ 0.3 S/M and 6.1 $\pm$ 0.1 mg/100 mL, resp., vs. 6.2 $\pm$ 0.4 S/M and 7.2 $\pm$ 0.5 mg/100 mL, resp., for 1,25-(OH)<sub>2</sub>D<sub>3</sub>. These compds. also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as anti-cancer agents and for the treatment of diseases such as psoriasis.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> S L10 AND AND dELUCA

MISSING TERM 'AND AND'

The search profile that was entered contains a logical operator followed immediately by another operator.

=> S L10 AND DELUCA/AU

0 DELUCA/AU

L11 0 L10 AND DELUCA/AU

=> S L10 AND DELUCA

44 DELUCA

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